

论文

疟疾防治药物的研究——X. 2,4-二氨基-6-N¹,N²-二取代胍基-喹唑啉类衍生物的合成及其抗疟作用

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摘要:

本文报道了2,4-二氨基-6-N¹,N²-二取代胍基-喹唑啉类衍生物的合成及其抗疟活性的研究。这类化合物的合成是以2,4-二氨基-6-取代苯基氨基-喹唑啉为原料经亚硝化、还原成为2,4-二氨基-6-(N¹-取代苯基)-胍基喹唑啉,再与相应的醛缩合而成。此类化合物经伯氏鼠疟原虫抑制性治疗初筛表明有少数具有一定的效果。有11个化合物经约氏鼠疟原虫-斯氏按蚊系统病因性初筛有效。其中化合物II_{1,7,8,11,15}和III₁口服2.5mg/kg,连续3天,可使受试小鼠全部得到保护。

关键词: 2,4-二氨基-6-N¹-取代胍基-喹唑啉 2,4-二氨基-6-N¹,N²-二取代胍基-喹唑啉 抗疟作用

STUDIES ON ANTIMALARIALS X. SYNTHESIS AND ANTIMALARIAL ACTIVITIES OF SOME DERIVATIVES OF 2,4-DIAMINO-6-N¹, N²-DISUBSTITUTED HYDRAZINOQUINAZOLINES

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Abstract:

A series of 2,4-diamino-6-[N¹-(substituted benzyl)-N²-(substituted methylidene)-hydrazino]-quinazolines (II) were prepared. These compounds were synthesized from 2,4-diamino-6-substituted benzylaminoquinazolines by nitrosation and reduction to give 2,4-diamino-6-[N¹-(substituted benzyl)-hydrazino]-quinazolines which were subsequently reacted with substituted aldehydes to yield the corresponding compounds (II). In preliminary screening tests on infected mice these compounds showed suppressive effect against *Plasmodium berghei*. In *Plasmodium yoelii*-*Anopheles stephensi* system, 11 compounds showed causal prophylactic activity in varying degrees. 6 of them (II_{1,7,8,11,15}, III₁) protected the mice from being infected when administered at an oral dose of 2.5 mg/kg for 3 consecutive days.

Keywords: 2, 4-diamino-6-[N¹-(substituted benzyl)-N²-(substituted benzylidene)-hydrazino]-quinazoline Antimalarial activity 2, 4-diamino-6-[N¹-(substituted benzyl)-hydrazino]-quinazoline

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